

PRACTICAL IMPLICATIONS OF SOLID STATE ATTRIBUTES DURING DRUG DISCOVERY AND DEVELOPMENT

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Solid state attributes such as crystallinity, hygroscopicity and physical form impact the decisions that are made during lead optimization and drug candidate selection. Usually at the discovery stage, compounds are amorphous and hygroscopic. It can be a challenge to determine the thermodynamic solubility of such compounds in a high throughput mode and therefore trade-offs will have to be made. During early efficacy and PK studies, often rudimentary formulations are prepared and the solid state properties of the compound in such formulations can affect in vivo data. Highly concentrated intravenous preparations at early stages with amorphous material may not be replicated later on when the compound is crystallized. Likewise, there may be large differences in exposure when a salt form is dosed relative to a free form.